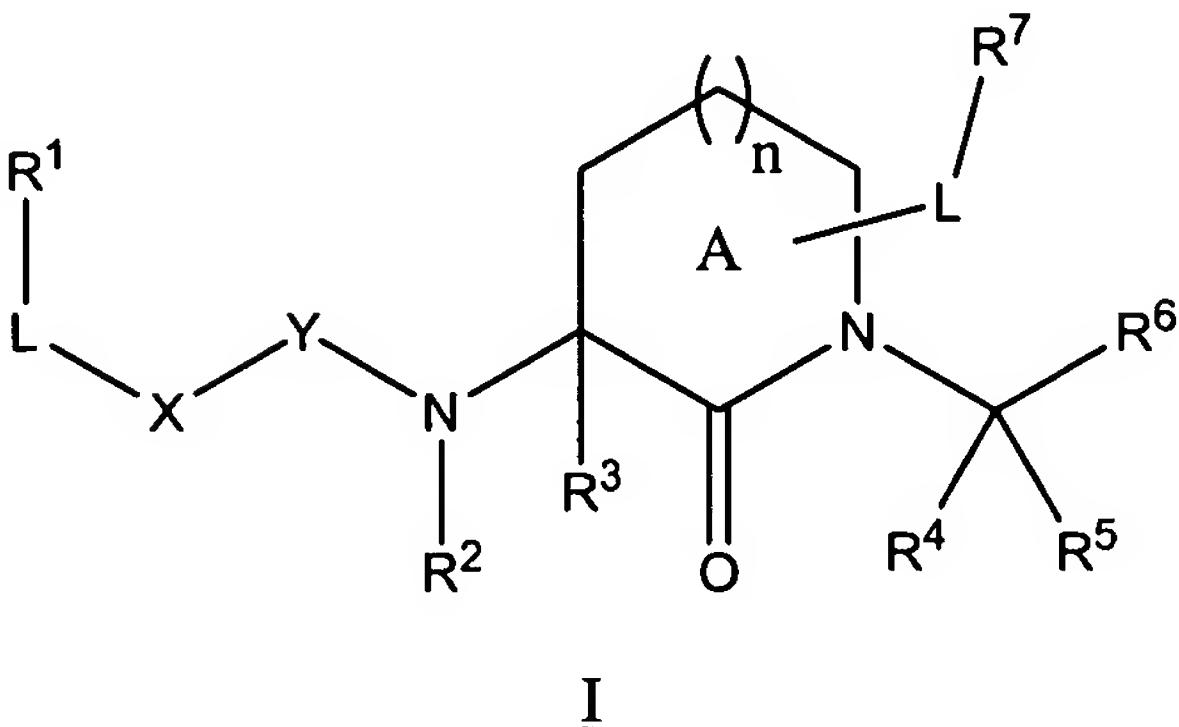


In the claims:

1. (currently amended) A compound having a structure of formula I:



or a pharmaceutically acceptable salt thereof, wherein:

R¹ is selected from H, alkyl, alkoxy, alkenyl, alkynyl, amino, alkylamino, acylamino, cyano, sulfonylamino, acyloxy, aryl, cycloalkyl, heterocyclyl, heteroaryl, and a polypeptide chain of 1 to 8 amino acid residues;

R² and R³ are independently selected from H, lower alkyl, cycloalkyl, and aralkyl; or R² and R³ together with the atoms to which they are attached, form a 4- to 6-membered heterocyclic ring;

R⁴ and R⁵ are independently selected from H, halogen, and alkyl, or R⁴ and R⁵, together with the carbon to which they are attached, form a 3- to 6-membered carbocyclic or heterocyclic ring;

R⁶ is a functional group that reacts with an active site residue of a targeted protease to form a covalent adduct;

R⁷ is absent or is one or more substituents on ring A, each of which is independently selected from H, lower alkyl, lower alkenyl, lower alkynyl, hydroxyl, oxo, ether, thioether, halogen, carbonyl, thiocarbonyl, amino, amido, cyano, nitro, azido, alkylamino, acylamino, aminoacyl, cyano, sulfate, sulfonate, sulfonyl, sulfonylamino, aminosulfonyl, alkoxy carbonyl, acyloxy, aryl, cycloalkyl, heterocyclyl, heteroaryl, and a polypeptide chain of 1 to 8 amino acid residues;

R^8 is selected from H, aryl, alkyl, aralkyl, cycloalkyl, heterocyclyl, heteroaryl, heteroaralkyl, and a polypeptide chain of 1 to 8 amino acid residues;

L is absent or is selected from alkyl, alkenyl, alkynyl, -($CH_2)_mO(CH_2)_m$ -, -($CH_2)_mNR^2(CH_2)_m$ -, and -($CH_2)_mS(CH_2)_m$;

X is absent or is selected from -N(R^8)-, -O-, and -S-;

Y is absent or is selected from -C(=O)-, -C(=S)-, and -SO₂-;

m is, independently for each occurrence, an integer from 0 to 10; and

n is an integer from 0 to 3.

2. (currently amended) [[A]] The compound of claim 1, wherein R^6 is selected from cyano, boronic acid, -SO₂Z¹, -P(=O)Z¹, -P(=R⁹)R¹⁰R¹¹, -C(=NH)NH₂, -CH=NR¹², and -C(=O)-R¹², wherein:

R^9 is O or S;

R^{10} is selected from N₃, SH[[₂]], NH₂, NO₂, and OLR¹³, and

R^{11} is selected from lower alkyl, amino, OLR¹³, or a pharmaceutically acceptable salt thereof, or

R^{10} and R^{11} , together with the phosphorus to which they are attached, form a 5- to 8-membered heterocyclic ring;

R^{12} is selected from H, alkyl, alkenyl, alkynyl, -($CH_2)_pR^{13}$, -($CH_2)_q-OH$, -($CH_2)_q-O$ -alkyl, -($CH_2)_q-O$ -alkenyl, -($CH_2)_q-O$ -alkynyl, -($CH_2)_q-O-(CH_2)_pR^{13}$, -($CH_2)_q-SH$, -($CH_2)_q-S$ -alkyl, -($CH_2)_q-S$ -alkenyl, -($CH_2)_q-S$ -alkynyl, -($CH_2)_q-S-(CH_2)_pR^{13}$, -C(O)NH₂, -C(O)OR¹⁴, and C(Z¹)(Z²)(Z³);

R^{13} is selected from H, alkyl, alkenyl, aryl, cycloalkyl, cycloalkenyl, and heterocyclyl;

R^{14} is selected from H, alkyl, alkenyl, and LR¹³;

Z¹ is a halogen;

Z² and Z³ are independently selected from H or halogen;

p is, independently for each occurrence, an integer from 0 to 8; and

q is, independently for each occurrence, an integer from 1 to 8.

3. **(currently amended)** [[A]] The compound of claim 1, wherein a R⁶ is a group of formula -B(Y¹) (Y²), wherein Y¹ and Y² are independently OH or a group that is hydrolysable to OH, or together with the boron atom to which they are attached form a 5- to 8-membered ring that is hydrolysable to a boronic acid.
4. **(currently amended)** [[A]] The compound of claim 1, wherein the compound is a protease inhibitor.
5. **(currently amended)** The inhibitor of claim 4 [[5]], wherein the protease inhibitor inhibits dipeptidyl peptidase IV (DPIV) with a K_i of 50 nM [[nm]] or less.
6. **(currently amended)** [[A]] The compound of claim 1 that is orally active in a mammal.
7. **(currently amended)** A pharmaceutical composition, comprising a pharmaceutically acceptable carrier; and a compound of claim 1, or a pharmaceutically acceptable salt or prodrug thereof.
8. **(currently amended)** [[The use of a compound of claim 1 in the manufacture of a medicament]] A method for inhibiting a post-proline-cleaving enzyme in a patient, comprising the step of administering to a patient in need thereof a therapeutically effective amount of a compound of claim 1.
9. **(currently amended)** The method [[use]] of claim 8 [[9]], wherein the compound of claim 1 increases plasma concentrations of a peptide hormone selected from glucagon-like peptide, NPY, PPY, secretin, GLP-1, GLP-2, and GIP.
10. **(currently amended)** [[The use of a compound of claim 1 in the manufacture of a medicament]] A method for regulating glucose metabolism in a patient, comprising the step of administering to a patient in need thereof a therapeutically effective amount of a compound of claim 1.
11. **(currently amended)** The method [[use]] of claim 10 [[11]], wherein said patient suffers [[for regulating glucose metabolism of a patient suffering]] from Type II diabetes, insulin resistance, glucose intolerance, hyperglycemia, hypoglycemia, hyperinsulinemia, obesity, hyperlipidemia, or hyperlipoproteinemia.

12. **(original)** A method for inhibiting the proteolytic activity of a post-proline-cleaving enzyme, comprising contacting the enzyme with a compound of claim 1.
13. **(currently amended)** A packaged pharmaceutical, comprising a preparation of a compound of claim 1; and instructions describing the use of the preparation for inhibiting a post-proline cleaving enzyme.
14. **(currently amended)** A packaged pharmaceutical, comprising a preparation of a compound of claim 1; and instructions describing the use of the preparation for regulating glucose metabolism.
15. **(currently amended)** The packaged pharmaceutical of claim 14 [[15]], wherein the compound of claim 1 is co-formulated with or co-packaged with insulin, an insulinotropic agent or both.
16. **(currently amended)** The packaged pharmaceutical of claim 14 [[15]], wherein the compound of claim 1 is co-formulated with or co-packaged with one or more of an M1 receptor antagonist, a prolactin inhibitor, an agent acting on the ATP-dependent potassium channel of β-cells, metformin, and a glucosidase inhibitor.